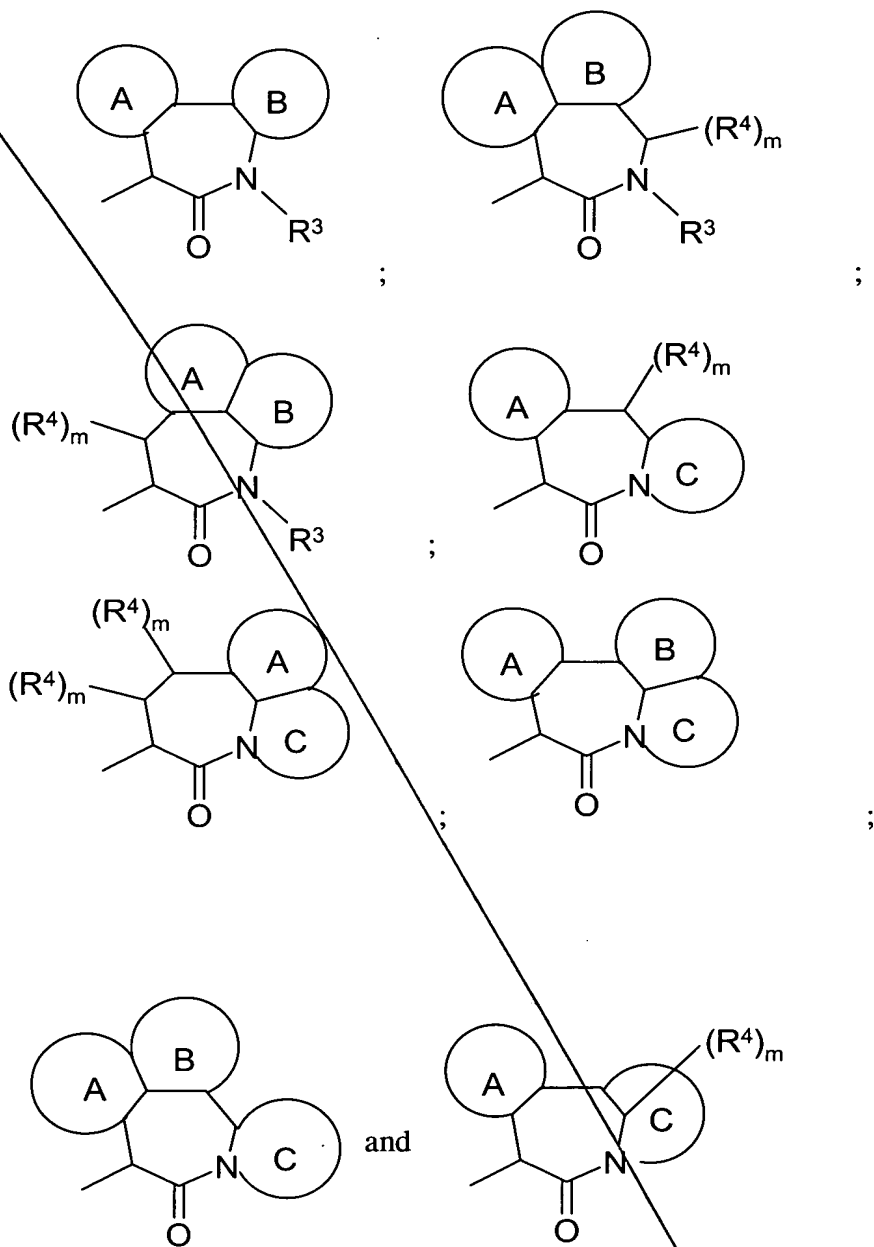


wherein

W is a substituted ϵ -caprolactam selected from the group consisting of:



wherein

ring A, together with the atoms of the ϵ -caprolactam to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of:

- A) aryl having from 6 to 14 ring carbon atoms substituted with from 1 to 5 substituents selected from the group consisting of:
- 1) acyloxy selected from alkyl-C(O)O-, substituted alkyl -C(O)O-, cycloalkyl-C(O)O-, substituted cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
 - 2) hydroxy;
 - 3) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
 - 4) alkyl as defined in R herein;
 - 5) alkoxy having the formula alkyl-O- wherein alkyl is defined in R herein;
 - 6) alkenyl as defined in T herein;
 - 7) alkynyl as defined in V herein;
 - 8) substituted alkyl as defined in S herein;
 - 9) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in S herein;
 - 10) substituted alkenyl as defined in U herein;
 - 11) substituted alkynyl as defined in W herein;

Sub
C1

B1

- Sub
C'
- 12) amino having the formula -NH_2 ;
- 13) substituted amino having the formula -N(R)_2 where each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, aryl, cycloalkyl, substituted cycloalkyl, heteroaryl, heterocyclic and where both R groups are joined to form a heterocyclic group; wherein alkyl is defined in R herein; substituted alkyl is defined in S herein; wherein alkenyl is defined in T herein; wherein substituted alkenyl is defined in U herein; wherein alkynyl is defined in V herein; wherein substituted alkynyl is defined in W herein; wherein aryl is defined in A herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 14) aminoacyl having the formula -NRC(O)R wherein each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl or heterocyclic; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 15) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic or where both R groups are joined to form a heterocyclic group; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;

- Sub
C1
- BT
- 16) alkaryl of the formula -alkylene-aryl having 1 to 8 carbon atoms in the alkylene moiety wherein aryl is defined in A herein and alkylene is a divalent alkyl where alkyl is defined in R herein;
- 17) aryl as defined in A herein;
- 18) aryloxy having the formula -aryl-O wherein aryl is defined in A herein;
- 19) azido;
- 20) carboxyl;
- 21) carboxylalkyl having the formula -C(O)Oalkyl and -C(O)O-substituted alkyl wherein alkyl as defined in R herein and substituted alkyl is defined in S herein;
- 22) cyano;
- 23) halo selected from fluoro, chloro, bromo and iodo;
- 24) nitro;
- 25) heteroaryl as defined in F herein;
- 26) heterocyclic as defined in G herein;
- 27) aminoacyloxy having the formula -NRC(O)OR wherein each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl or heterocyclic; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 28) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;

- Sub
C¹
- 29) thioalkoxy having the formula -S-alkyl, wherein alkyl as defined in R herein;
- 30) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in S herein;
- 31) thioaryloxy having the formula aryl-S- wherein aryl is defined in A herein;
- 32) thioheteroaryloxy having the formula heteroaryl-S- wherein heteroaryl is defined F herein;
- 33) -SO-alkyl wherein alkyl is defined in R herein;
- 34) -SO-substituted alkyl wherein substituted alkyl is defined in S herein;
- 35) -SO-aryl wherein aryl is defined in A herein;
- 36) -SO-heteroaryl wherein heteroaryl is defined in F herein;
- 37) -SO₂-alkyl wherein alkyl is defined in R herein;
- 38) -SO₂-substituted alkyl wherein substituted alkyl is defined in S herein;
- 39) -SO₂-aryl wherein aryl is defined in A herein;
- 40) -SO₂-heteroaryl wherein heteroaryl is defined in F herein; and
- 41) trihalomethyl wherein halo is defined in A23 herein;
- B) cycloalkyl of from 3 to 12 carbon atoms;
- C) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;

- Sub
C1
- 10) amino as defined in A12 herein;
11) substituted amino as defined in A13 herein;
12) aminoacyl as defined in A14 herein;
13) aminoacyloxy as defined in A27 herein;
14) oxyacylamino as defined in A28 herein;
15) cyano;
16) halogen wherein halo is defined in A23 herein;
17) hydroxyl;
18) carboxyl;
19) carboxylalkyl as defined in A21 herein;
20) keto having the formula =O;
21) thioketo having the formula =S;
22) thiol having the formula -SH;
23) thioalkoxy as defined in A29 herein;
24) substituted thioalkoxy as defined in A30 herein;
25) aryl as defined in A herein;
26) aryloxy as defined in A18 herein;
27) heteroaryl as defined in F herein;
28) heteroaryloxy having the formula -O-heteroaryl wherein heteroaryl is defined in F herein;
29) heterocyclic as defined in G herein;
30) heterocycloxy having the formula -O-heterocyclic wherein heterocyclic is defined in G herein;
31) hydroxyamino;
32) alkoxyamino wherein alkoxy is defined in A5 herein;
33) nitro;
34) -SO-alkyl as defined in A33 herein;
35) -SO-substituted alkyl as defined in A34 herein;
36) -SO-aryl as defined in A35 herein;

- Sub
C1
- B
- 37) -SO-heteroaryl as defined in A36 herein;
38) -SO₂-alkyl as defined in A37 herein;
39) -SO₂-substituted alkyl as defined in A38 herein;
40) -SO₂-aryl as defined in A39 herein; and
41) -SO₂-heteroaryl as defined in A40 herein;
D) cycloalkenyl of from 4 to 8 carbon atoms;
E) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - 13) aminoacyloxy as defined in A27 herein;
 - 14) oxyacylamino as defined in A28 herein;
 - 15) cyano;
 - 16) halogen wherein halo is defined in A23 herein;
 - 17) hydroxyl;
 - 18) carboxyl;
 - 19) carboxylalkyl as defined in A21 herein;
 - 20) keto as defined in C20 herein;
 - 21) thioketo as defined in C21 herein;

- Sub
C1
- B1
- 22) thiol as defined in C22 herein;
 - 23) thioalkoxy as defined in A29 herein;
 - 24) substituted thioalkoxy as defined in A30 herein;
 - 25) aryl as defined in A herein;
 - 26) aryloxy as defined in A18 herein;
 - 27) heteroaryl as defined in F herein;
 - 28) heteroaryloxy as defined in C28 herein;
 - 29) heterocyclic as defined in G herein;
 - 30) heterocycloxy as defined in C30 herein;
 - 31) hydroxyamino;
 - 32) alkoxyamino as defined in C32 herein;
 - 33) nitro;
 - 34) -SO-alkyl as defined in A33 herein;
 - 35) -SO-substituted alkyl as defined in A34 herein;
 - 36) -SO-aryl as defined in A35 herein;
 - 37) -SO-heteroaryl as defined in A36 herein;
 - 38) -SO₂-alkyl as defined in A37 herein;
 - 39) -SO₂-substituted alkyl as defined in A38 herein;
 - 40) -SO₂-aryl as defined in A39 herein; and
 - 41) -SO₂-heteroaryl as defined in A40 herein;

F) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, substituted with from 1 to 5 substituents selected from:

- 1) acyloxy as defined in A1 herein;
- 2) hydroxy;
- 3) acyl as defined in A3 herein;
- 4) alkyl as defined in R herein;
- 5) alkoxy as defined in A5 herein;
- 6) alkenyl as defined in T herein;

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- 7) alkynyl as defined in V herein;
 - 8) substituted alkyl as defined in S herein;
 - 9) substituted alkoxy as defined in A9 herein;
 - 10) substituted alkenyl as defined in U herein;
 - 11) substituted alkynyl as defined in W herein;
 - 12) amino as defined in A12 herein;
 - 13) substituted amino as defined in A13 herein;
 - 14) aminoacyl as defined in A14 herein;
 - 15) acylamino as defined in A15 herein;
 - 16) alkaryl as defined in A16 herein;
 - 17) aryl as defined in A herein;
 - 18) aryloxy as defined in A18 herein;
 - 19) azido;
 - 20) carboxyl;
 - 21) carboxylalkyl as defined in A21 herein;
 - 22) cyano;
 - 23) halo as defined in A23 herein;
 - 24) nitro;
 - 25) heteroaryl as defined in F herein;
 - 26) heterocyclic as defined in G herein;
 - 27) aminoacyloxy as defined in A27 herein;
 - 28) oxyacylamino as defined in A28 herein;
 - 29) thioalkoxy as defined in A29 herein;
 - 30) substituted thioalkoxy as defined in A30 herein;
 - 31) thioaryloxy as defined in A31 herein;
 - 32) thioheteroaryloxy as defined in A32 herein;
 - 33) -SO-alkyl as defined in A33 herein;
 - 34) -SO-substituted alkyl as defined in A34 herein;
 - 35) -SO-aryl as defined in A35 herein;

- 36) -SO-heteroaryl as defined in A36 herein;
- 37) -SO₂-alkyl as defined in A37 herein;
- 38) -SO₂-substituted alkyl as defined in A38 herein;
- 39) -SO₂-aryl as defined in A39 herein;
- 40) -SO₂-heteroaryl as defined in A40 herein; and
- 41) trihalomethyl as defined in A41 herein;

G) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, substituted with from 1 to 5 substituents selected from:

- 1) alkoxy as defined in A5 herein;
- 2) substituted alkoxy as defined in A9 herein;
- 3) cycloalkyl as defined in B herein;
- 4) substituted cycloalkyl as defined in C herein;
- 5) cycloalkenyl as defined in D herein;
- 6) substituted cycloalkenyl as defined in E herein;
- 7) acyl as defined in A3 herein;
- 8) acylamino as defined in A15 herein;
- 9) acyloxy as defined in A1 herein;
- 10) amino as defined in A12 herein;
- 11) substituted amino as defined in A13 herein;
- 12) aminoacyl as defined in A14 herein;
- 13) aminoacyloxy as defined in A27 herein;
- 14) oxyacylamino as defined in A28 herein;
- 15) cyano;
- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto as defined in C20 herein;

Sub
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- Sub
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- BX
- 21) thioketo as defined in C21 herein;
 - 22) thiol as defined in C22 herein;
 - 23) thioalkoxy as defined in A29 herein;
 - 24) substituted thioalkoxy as defined in A30 herein;
 - 25) aryl as defined in A herein;
 - 26) aryloxy as defined in A18 herein;
 - 27) heteroaryl as defined in F herein;
 - 28) heteroaryloxy as defined in C28 herein;
 - 29) heterocyclic as defined in G herein;
 - 30) heterocyclooxy as defined in C30 herein;
 - 31) hydroxyamino;
 - 32) alkoxyamino as defined in C32 herein;
 - 33) nitro;
 - 34) -SO-alkyl as defined in A33 herein;
 - 35) -SO-substituted alkyl as defined in A34 herein;
 - 36) -SO-aryl as defined in A35 herein;
 - 37) -SO-heteroaryl as defined in A36 herein;
 - 38) -SO₂-alkyl as defined in A37 herein;
 - 39) -SO₂-substituted alkyl as defined in A38 herein;
 - 40) -SO₂-aryl as defined in A39 herein; and
 - 41) -SO₂-heteroaryl as defined in A40 herein;

ring B, together with the atoms of the ϵ -caprolactam to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of:

- H) aryl as defined in A herein;
- I) cycloalkyl as defined in B herein;
- J) substituted cycloalkyl as defined in C herein;
- K) cycloalkenyl as defined in D herein;
- L) substituted cycloalkenyl as defined in E herein;
- M) heteroaryl as defined in F herein; and

N) heterocyclic as defined in G herein;
ring C, together with the atoms of the ϵ -caprolactam to which it is attached, forms a heteroaryl as defined in F herein or heterocyclic ring as defined in G herein;

R¹ is selected from the group consisting of:

- O) hydrogen; and
P) an amino-blocking group being any group, bound to an amino group, which prevents undesired reactions from occurring at the amino group and which may be removed by conventional chemical and/or enzymatic procedures to reestablish the amino group;

R² is selected from the group consisting of:

- Q) hydrogen;
R) alkyl of from 1 to 20 carbon atoms;
S) substituted alkyl of from 1 to 20 carbon atoms, having from 1 to 5 substituents selected from:
- 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - 13) aminoacyloxy as defined in A27 herein;
 - 14) oxyacylamino as defined in A28 herein;
 - 15) cyano;

- Sub
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- BT
- 16) halogen wherein halo is defined in A23 herein;
 - 17) hydroxyl;
 - 18) carboxyl;
 - 19) carboxylalkyl as defined in A21 herein;
 - 20) keto as defined in C20 herein;
 - 21) thioketo as defined in C21 herein;
 - 22) thiol as defined in C22 herein;
 - 23) thioalkoxy as defined in A29 herein;
 - 24) substituted thioalkoxy as defined in A30 herein;
 - 25) aryl as defined in A herein;
 - 26) aryloxy as defined in A18 herein;
 - 27) heteroaryl as defined in F herein;
 - 28) heteroaryloxy as defined in C28 herein;
 - 29) heterocyclic as defined in G herein;
 - 30) heterocyclooxy as defined in C30 herein;
 - 31) hydroxyamino;
 - 32) alkoxyamino as defined in C32 herein;
 - 33) nitro;
 - 34) -SO-alkyl as defined in A33 herein;
 - 35) -SO-substituted alkyl as defined in A34 herein;
 - 36) -SO-aryl as defined in A35 herein;
 - 37) -SO-heteroaryl as defined in A36 herein;
 - 38) -SO₂-alkyl as defined in A37 herein;
 - 39) -SO₂-substituted alkyl as defined in A38 herein;
 - 40) -SO₂-aryl as defined in A39 herein; and
 - 41) -SO₂-heteroaryl as defined in A40 herein;
- T) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- U) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:

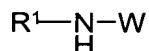
- Sub
C1
- BT
- 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkoxy wherein alkoxy is defined in A5 herein ;
 - 6) substituted cycloalkoxyl wherein substituted alkoxy is defined in A9 herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - 13) aminoacyloxy as defined in A27 herein;
 - 14) cyano;
 - 15) halogen wherein halo is defined in A23 herein;
 - 16) hydroxyl;
 - 17) carboxyl;
 - 18) carboxylalkyl as defined in A21 herein;
 - 19) keto as defined in C20 herein;
 - 20) thioketo as defined in C21 herein;
 - 21) thiol as defined in C22 herein;
 - 22) thioalkoxy as defined in A29 herein;
 - 23) substituted thioalkoxy as defined in A30 herein;
 - 24) aryl as defined in A herein;
 - 25) heteroaryl as defined in F herein;
 - 26) heterocyclic as defined in G herein;
 - 27) heterocycloxy as defined in C30 herein;
 - 28) nitro;

- Sub
C1
- BT
- 29) -SO-alkyl as defined in A33 herein;
30) -SO-substituted alkyl as defined in A34 herein;
31) -SO-aryl as defined in A35 herein;
32) -SO-heteroaryl as defined in A36 herein;
33) -SO₂-alkyl as defined in A37 herein;
34) -SO₂-substituted alkyl as defined in A38 herein;
35) -SO₂-aryl as defined in A39 herein; and
36) -SO₂-heteroaryl as defined in A40 herein;
V) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
W) substituted alkynyl of from 1 to 3 substituents selected from:
1) alkoxy as defined in A5 herein;
2) substituted alkoxy as defined in A9 herein;
3) cycloalkyl as defined in B herein;
4) substituted cycloalkyl as defined in C herein;
5) cycloalkoxy as defined in U5 herein;
6) substituted cycloalkoxyl as defined in U6 herein;
7) acyl as defined in A3 herein;
8) acylamino as defined in A15 herein;
9) acyloxy as defined in A1 herein;
10) amino as defined in A12 herein;
11) substituted amino as defined in A13 herein;
12) aminoacyl as defined in A14 herein;
13) aminoacyloxy as defined in A27 herein;
14) cyano;
15) halogen wherein halo is defined in A23 herein;
16) hydroxyl;
17) carboxyl;
18) carboxylalkyl as defined in A21 herein;

- Sub
C'
- B/1
- 19) keto as defined in C20 herein;
 - 20) thioketo as defined as C21 herein;
 - 21) thiol as defined as C22 herein;
 - 22) thioalkoxy as defined in A29 herein;
 - 23) substituted thioalkoxy as defined in A30 herein;
 - 24) aryl as defined in A herein;
 - 25) heteroaryl as defined in F herein;
 - 26) heterocyclic as defined in G herein;
 - 27) heterocyclooxy as defined in C30 herein;
 - 28) nitro;
 - 29) -SO-alkyl as defined in A33 herein;
 - 30) -SO-substituted alkyl as defined in A34 herein;
 - 31) -SO-aryl as defined in A35 herein;
 - 32) -SO-heteroaryl as defined in A36 herein;
 - 33) -SO₂-alkyl as defined in A37 herein;
 - 34) -SO₂-substituted alkyl as defined in A38 herein;
 - 35) -SO₂-aryl as defined in A39 herein; and
 - 36) -SO₂-heteroaryl as defined in A40 herein;
- X) aryl as defined in A herein;
- Y) cycloalkyl as defined in B herein;
- Z) heteroaryl as defined in F herein; and
- AA) heterocyclic as defined in G herein;
- R³ is selected from the group consisting of:
- BB) hydrogen;
 - CC) alkyl as defined in R herein;
 - DD) substituted alkyl as defined in S herein;
 - EE) alkenyl as defined in T herein;
 - FF) substituted alkenyl as defined in U herein;
 - GG) alkynyl as defined in as defined in V herein;

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cl
- HH) substituted alkynyl as defined in W herein;
II) acyl as defined in A3 herein;
JJ) aryl as defined in A herein;
KK) cycloalkyl as defined in B herein;
LL) substituted cycloalkyl as defined in C herein;
MM) cycloalkenyl as defined in D herein;
NN) substituted cycloalkenyl as defined in E herein;
OO) heteroaryl as defined in F herein; and
PP) heterocyclic as defined in G herein;
each R⁴ is independently selected from the group consisting of:
QQ) alkyl as defined in R herein;
RR) substituted alkyl as defined in S herein;
SS) alkenyl as defined in T herein;
TT) substituted alkenyl as defined in U herein;
UU) alkynyl as defined in V herein;
VV) substituted alkynyl as defined in W herein;
WW) aryl as defined in A herein;
XX) cycloalkyl as defined in B herein;
YY) substituted cycloalkyl as defined in C herein;
ZZ) cycloalkenyl as defined in D herein;
AAA) substituted cycloalkenyl as defined in E herein;
BBB) heteroaryl as defined in F herein; and
CCC) heterocyclic as defined in G herein;
m is an integer from 0 to 2, and salts thereof.

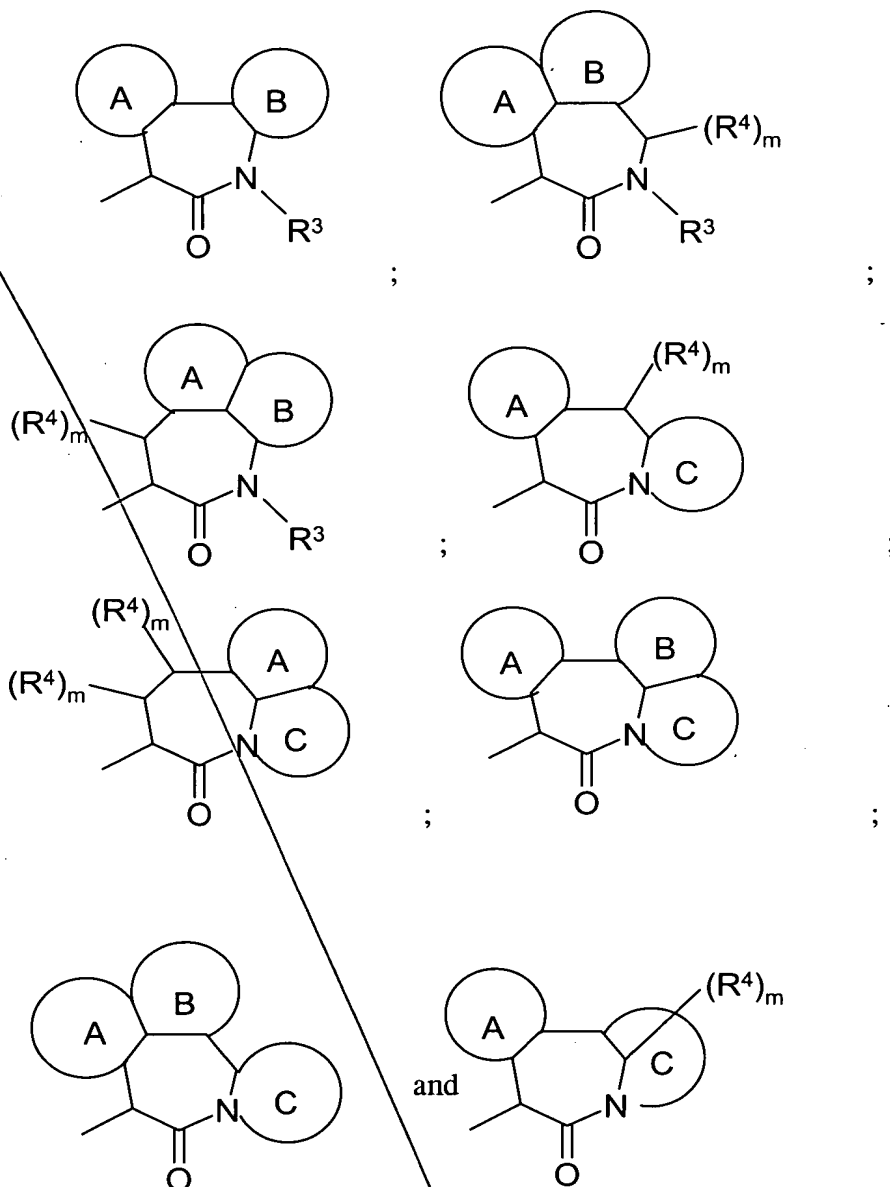
64. (Amended) A compound of formula II:



II

wherein

W is a substituted ϵ -caprolactam selected from the group consisting of:



wherein

ring A, together with the atoms of the ϵ -caprolactam to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of:

- S ub
C l
- BT
- A) aryl having from 6 to 14 ring carbon atoms substituted with from 1 to 5 substituents selected from the group consisting of:
- 1) acyloxy selected from alkyl-C(O)O-, substituted alkyl -C(O)O-, cycloalkyl-C(O)O-, substituted cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
 - 2) hydroxy;
 - 3) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
 - 4) alkyl as defined in R herein;
 - 5) alkoxy having the formula alkyl-O- wherein alkyl is defined in R herein;
 - 6) alkenyl as defined in T herein;
 - 7) alkynyl as defined in V herein;
 - 8) substituted alkyl as defined in S herein;
 - 9) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in S herein;
 - 10) substituted alkenyl as defined in U herein;
 - 11) substituted alkynyl as defined in W herein;

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- 12) amino having the formula -NH_2 ;
- 13) substituted amino having the formula -N(R)_2 where each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, aryl, cycloalkyl, substituted cycloalkyl, heteroaryl, heterocyclic and where both R groups are joined to form a heterocyclic group; wherein alkyl is defined in R herein; substituted alkyl is defined in S herein; wherein alkenyl is defined in T herein; wherein substituted alkenyl is defined in U herein; wherein alkynyl is defined in V herein; wherein substituted alkynyl is defined in W herein; wherein aryl is defined in A herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 14) aminoacyl having the formula -NRC(O)R wherein each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl or heterocyclic; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 15) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic or where both R groups are joined to form a heterocyclic group; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;

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- BV
- 16) alkaryl of the formula -alkylene-aryl having 1 to 8 carbon atoms in the alkylene moiety wherein aryl is defined in A herein and alkylene is a divalent alkyl where alkyl is defined in R herein;
 - 17) aryl as defined in A herein;
 - 18) aryloxy having the formula -aryl-O wherein aryl is defined in A herein;
 - 19) azido;
 - 20) carboxyl;
 - 21) carboxylalkyl having the formula -C(O)Oalkyl and -C(O)O-substituted alkyl wherein alkyl as defined in R herein and substituted alkyl is defined in S herein;
 - 22) cyano;
 - 23) halo selected from fluoro, chloro, bromo and iodo;
 - 24) nitro;
 - 25) heteroaryl as defined in F herein;
 - 26) heterocyclic as defined in G herein;
 - 27) aminoacyloxy having the formula -NRC(O)OR wherein each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl or heterocyclic; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
 - 28) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;

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C1
- B1
- 29) thioalkoxy having the formula -S-alkyl, wherein alkyl as defined in R herein;
- 30) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in S herein;
- 31) thioaryloxy having the formula aryl-S- wherein aryl is defined in A herein;
- 32) thioheteroaryloxy having the formula heteroaryl-S- wherein heteroaryl is defined F herein;
- 33) -SO-alkyl wherein alkyl is defined in R herein;
- 34) -SO-substituted alkyl wherein substituted alkyl is defined in S herein;
- 35) -SO-aryl wherein aryl is defined in A herein;
- 36) -SO-heteroaryl wherein heteroaryl is defined in F herein;
- 37) -SO₂-alkyl wherein alkyl is defined in R herein;
- 38) -SO₂-substituted alkyl wherein substituted alkyl is defined in S herein;
- 39) -SO₂-aryl wherein aryl is defined in A herein;
- 40) -SO₂-heteroaryl wherein heteroaryl is defined in F herein; and
- 41) trihalomethyl wherein halo is defined in A23 herein;
- B) cycloalkyl of from 3 to 12 carbon atoms;
- C) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;

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- 8) acylamino as defined in A15 herein;
9) acyloxy as defined in A1 herein;
10) amino as defined in A12 herein;
11) substituted amino as defined in A13 herein;
12) aminoacyl as defined in A14 herein;
13) aminoacyloxy as defined in A27 herein;
14) oxyacylamino as defined in A28 herein;
15) cyano;
16) halogen wherein halo is defined in A23 herein;
17) hydroxyl;
18) carboxyl;
19) carboxylalkyl as defined in A21 herein;
20) keto having the formula =O;
21) thioketo having the formula =S;
22) thiol having the formula -SH;
23) thioalkoxy as defined in A29 herein;
24) substituted thioalkoxy as defined in A30 herein;
25) aryl as defined in A herein;
26) aryloxy as defined in A18 herein;
27) heteroaryl as defined in F herein;
28) heteroaryloxy having the formula -O-heteroaryl wherein heteroaryl is defined in F herein;
29) heterocyclic as defined in G herein;
30) heterocyclooxy having the formula -O-heterocyclic wherein heterocyclic is defined in G herein;
31) hydroxyamino;
32) alkoxyamino wherein alkoxy is defined in A5 herein;
33) nitro;
34) -SO-alkyl as defined in A33 herein;

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- 35) -SO-substituted alkyl as defined in A34 herein;
 - 36) -SO-aryl as defined in A35 herein;
 - 37) -SO-heteroaryl as defined in A36 herein;
 - 38) -SO₂-alkyl as defined in A37 herein;
 - 39) -SO₂-substituted alkyl as defined in A38 herein;
 - 40) -SO₂-aryl as defined in A39 herein; and
 - 41) -SO₂-heteroaryl as defined in A40 herein;
- D) cycloalkenyl of from 4 to 8 carbon atoms;
- E) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - 13) aminoacyloxy as defined in A27 herein;
 - 14) oxyacylamino as defined in A28 herein;
 - 15) cyano;
 - 16) halogen wherein halo is defined in A23 herein;
 - 17) hydroxyl;
 - 18) carboxyl;
 - 19) carboxylalkyl as defined in A21 herein;

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- 20) keto as defined in C20 herein;
 - 21) thioketo as defined in C21 herein;
 - 22) thiol as defined in C22 herein;
 - 23) thioalkoxy as defined in A29 herein;
 - 24) substituted thioalkoxy as defined in A30 herein;
 - 25) aryl as defined in A herein;
 - 26) aryloxy as defined in A18 herein;
 - 27) heteroaryl as defined in F herein;
 - 28) heteroaryloxy as defined in C28 herein;
 - 29) heterocyclic as defined in G herein;
 - 30) heterocyclooxy as defined in C30 herein;
 - 31) hydroxyamino;
 - 32) alkoxyamino as defined in C32 herein;
 - 33) nitro;
 - 34) -SO-alkyl as defined in A33 herein;
 - 35) -SO-substituted alkyl as defined in A34 herein;
 - 36) -SO-aryl as defined in A35 herein;
 - 37) -SO-heteroaryl as defined in A36 herein;
 - 38) -SO₂-alkyl as defined in A37 herein;
 - 39) -SO₂-substituted alkyl as defined in A38 herein;
 - 40) -SO₂-aryl as defined in A39 herein; and
 - 41) -SO₂-heteroaryl as defined in A40 herein;

F) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, substituted with from 1 to 5 substituents selected from:

- 1) acyloxy as defined in A1 herein;
- 2) hydroxy;
- 3) acyl as defined in A3 herein;
- 4) alkyl as defined in R herein;

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- B1
- 5) alkoxy as defined in A5 herein;
 - 6) alkenyl as defined in T herein;
 - 7) alkynyl as defined in V herein;
 - 8) substituted alkyl as defined in S herein;
 - 9) substituted alkoxy as defined in A9 herein;
 - 10) substituted alkenyl as defined in U herein;
 - 11) substituted alkynyl as defined in W herein;
 - 12) amino as defined in A12 herein;
 - 13) substituted amino as defined in A13 herein;
 - 14) aminoacyl as defined in A14 herein;
 - 15) acylamino as defined in A15 herein;
 - 16) alkaryl as defined in A16 herein;
 - 17) aryl as defined in A herein;
 - 18) aryloxy as defined in A18 herein;
 - 19) azido;
 - 20) carboxyl;
 - 21) carboxylalkyl as defined in A21 herein;
 - 22) cyano;
 - 23) halo as defined in A23 herein;
 - 24) nitro;
 - 25) heteroaryl as defined in F herein;
 - 26) heterocyclic as defined in G herein;
 - 27) aminoacyloxy as defined in A27 herein;
 - 28) oxyacylamino as defined in A28 herein;
 - 29) thioalkoxy as defined in A29 herein;
 - 30) substituted thioalkoxy as defined in A30 herein;
 - 31) thioaryloxy as defined in A31 herein;
 - 32) thioheteroaryloxy as defined in A32 herein;
 - 33) -SO-alkyl as defined in A33 herein;

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- 34) -SO-substituted alkyl as defined in A34 herein;
35) -SO-aryl as defined in A35 herein;
36) -SO-heteroaryl as defined in A36 herein;
37) -SO₂-alkyl as defined in A37 herein;
38) -SO₂-substituted alkyl as defined in A38 herein;
39) -SO₂-aryl as defined in A39 herein;
40) -SO₂-heteroaryl as defined in A40 herein; and
41) trihalomethyl as defined in A41 herein;
- G) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, substituted with from 1 to 5 substituents selected from:
- 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - 13) aminoacyloxy as defined in A27 herein;
 - 14) oxyacylamino as defined in A28 herein;
 - 15) cyano;
 - 16) halogen wherein halo is defined in A23 herein;
 - 17) hydroxyl;
 - 18) carboxyl;

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- 19) carboxylalkyl as defined in A21 herein;
 - 20) keto as defined in C20 herein;
 - 21) thioketo as defined in C21 herein;
 - 22) thiol as defined in C22 herein;
 - 23) thioalkoxy as defined in A29 herein;
 - 24) substituted thioalkoxy as defined in A30 herein;
 - 25) aryl as defined in A herein;
 - 26) aryloxy as defined in A18 herein;
 - 27) heteroaryl as defined in F herein;
 - 28) heteroaryloxy as defined in C28 herein;
 - 29) heterocyclic as defined in G herein;
 - 30) heterocyclooxy as defined in C30 herein;
 - 31) hydroxyamino;
 - 32) alkoxyamino as defined in C32 herein;
 - 33) nitro;
 - 34) -SO-alkyl as defined in A33 herein;
 - 35) -SO-substituted alkyl as defined in A34 herein;
 - 36) -SO-aryl as defined in A35 herein;
 - 37) -SO-heteroaryl as defined in A36 herein;
 - 38) -SO₂-alkyl as defined in A37 herein;
 - 39) -SO₂-substituted alkyl as defined in A38 herein;
 - 40) -SO₂-aryl as defined in A39 herein; and
 - 41) -SO₂-heteroaryl as defined in A40 herein;

ring *B*, together with the atoms of the ϵ -caprolactam to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of:

- H) aryl as defined in A herein;
- I) cycloalkyl as defined in B herein;
- J) substituted cycloalkyl as defined in C herein;
- K) cycloalkenyl as defined in D herein;

L) substituted cycloalkenyl as defined in E herein;
M) heteroaryl as defined in F herein; and
N) heterocyclic as defined in G herein;
ring C, together with the atoms of the ϵ -caprolactam to which it is attached, forms
a heteroaryl as defined in F herein or heterocyclic ring as defined in G herein;

R¹ is selected from the group consisting of:

- O) hydrogen; and
P) an amino-blocking group being any group, bound to an amino group, which
prevents undesired reactions from occurring at the amino group and which
may be removed by conventional chemical and/or enzymatic procedures to
reestablish the amino group;

R³ is selected from the group consisting of:

- Q) hydrogen;
R) alkyl as defined in R herein;
S) substituted alkyl as defined in S herein;
T) alkenyl as defined in T herein;
U) substituted alkenyl as defined in U herein;
V) alkynyl as defined in as defined in V herein;
W) substituted alkynyl as defined in W herein;
X) acyl as defined in A3 herein;
Y) aryl as defined in A herein;
Z) cycloalkyl as defined in B herein;
AA) substituted cycloalkyl as defined in C herein;
BB) cycloalkenyl as defined in D herein;
CC) substituted cycloalkenyl as defined in E herein;
DD) heteroaryl as defined in F herein; and
EE) heterocyclic as defined in G herein;

each R⁴ is independently selected from the group consisting of:

- FF) alkyl as defined in R herein;

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- GG) substituted alkyl as defined in S herein;
HH) alkenyl as defined in T herein;
II) substituted alkenyl as defined in U herein;
JJ) alkynyl as defined in V herein;
KK) substituted alkynyl as defined in W herein;
LL) aryl as defined in A herein;
MM) cycloalkyl as defined in B herein;
NN) substituted cycloalkyl as defined in C herein;
OO) cycloalkenyl as defined in D herein;
PP) substituted cycloalkenyl as defined in E herein;
QQ) heteroaryl as defined in F herein; and
RR) heterocyclic as defined in G herein;
m is an integer from 0 to 2; and salts thereof.
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